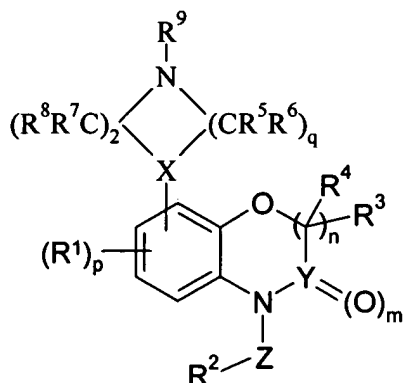


WHAT IS CLAIMED IS:

1. A compound of the formula:



or a pharmaceutically acceptable salt or prodrug thereof,  
wherein:

Y is C or S;

m is 1 when Y is C and m is 2 when Y is S;

n is 1 or 2;

p is from 0 to 3;

q is from 1 to 3;

Z is  $-(CR^aR^b)_r-$  or  $-SO_2-$ , where each of  $R^a$  and  $R^b$  is independently hydrogen or alkyl;

r is from 0 to 2;

X is CH or N;

each  $R^1$  is independently halo, alkyl, haloalkyl, heteroalkyl, alkoxy, cyano,  $-S(O)_s-R^c$ ,  $-C(=O)-NR^cR^d$ ,  $-SO_2-NR^cR^d$ ,  $-N(R^c)-C(=O)-R^d$ , or  $-C(=O)R^c$ , where each of  $R^c$  and  $R^d$  is independently hydrogen or alkyl;

s is from 0 to 2;

$R^2$  is aryl or heteroaryl;

each of  $R^3$  and  $R^4$  is independently hydrogen, alkyl, hydroxyalkyl or alkoxyalkyl, or  $R^3$  and  $R^4$  together with their shared carbon may form a ring of 3 to 6 members that optionally includes a nitrogen or oxygen heteroatom; and

each of R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> is independently hydrogen or alkyl, or one of R<sup>5</sup> and R<sup>6</sup> together with one of R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> and the atoms therebetween may form a ring of 5 to 7 members.

- 5            2.      The compound of claim 1, wherein Z is  $-(CR^aR^b)_r-$ .
3.      The compound of claim 2, wherein X is N and q is 2.
4.      The compound of claim 3, wherein n is 1.
- 10           5.      The compound of claim 4, wherein r is 1.
6.      The compound of claim 5, wherein R<sup>a</sup> and R<sup>b</sup> are hydrogen.
- 15           7.      The compound of claim 6, wherein R<sup>2</sup> is optionally substituted phenyl or optionally substituted naphthyl.
8.      The compound of claim 7, wherein R<sup>2</sup> is 2-halophenyl, 3-halophenyl, 4-halophenyl, naphthylen-2-yl, 3-cyanophenyl, 4-cyanophenyl, 3-nitrophenyl, 3-aminophenyl, 3-methoxyphenyl, 3-ureaphenyl, or 3-methylsulfonylamino-phenyl.
- 20           9.      The compound of claim 7, wherein p is 1 and R<sup>1</sup> is halo, methyl or methoxy.
10.     The compound of claim 7, wherein R<sup>3</sup> and R<sup>4</sup> are hydrogen.
- 25           11.     The compound of claim 7, wherein R<sup>3</sup> and R<sup>4</sup> are methyl.
12.     The compound of claim 7, wherein one of R<sup>3</sup> and R<sup>4</sup> is hydrogen and the other is methyl.
- 30

13. The compound of claim 7, wherein R<sup>3</sup> and R<sup>4</sup> together with the carbon atom therebetween form a cyclobutyl

14. The compound of claim 8, wherein said compound is selected from:

- 5 4-benzyl-6-methyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-benzyl-6-methoxy-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(2-fluoro-benzyl)-6-methoxy-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(2-chloro-benzyl)-6-methoxy-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(3-chloro-benzyl)-6-methoxy-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
10 4-benzyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-benzyl-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(2-fluoro-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(4-fluoro-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(4-chloro-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
15 4-(4-fluoro-benzyl)-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(2-fluoro-benzyl)-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(2-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(4-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
6-fluoro-4-naphthalen-2-ylmethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
20 4-(3-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
3-(3-oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-benzonitrile;  
4-(3-fluoro-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-benzyl-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
(R)-4-benzyl-2-methyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
25 4-benzyl-6-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
4-(4-Fluoro-benzyl)-6-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
(S)-4-Benzyl-2-methyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
8-Piperazin-1-yl-4-pyridin-4-ylmethyl-4H-benzo[1,4]oxazin-3-one;  
4-Benzyl-6-methyl-8-(4-methyl-piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one;  
30 4-Benzyl-8-(4-methyl-piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one;  
4-(1-Phenyl-ethyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;

- 4-(3-Methoxy-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-(3-Nitro-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-(3-Amino-benzyl)-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 3-(3-Oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-benzonitrile;  
 5 N-[3-(3-Oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-phenyl]-methanesulfonamide;  
 4-(4-Fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-(3-Fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 [3-(3-Oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-phenyl]-urea;  
 10 4-(3-Chloro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-Benzyl-8-(3,5-dimethyl-piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one;  
 4-(4-Chloro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-Benzyl-6-fluoro-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-(4-Chloro-benzyl)-6-fluoro-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 15 6-Fluoro-4-(3-fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 6-Fluoro-4-(2-fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 6-Fluoro-4-(4-fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one  
 4-(3-Chloro-benzyl)-6-fluoro-2,2-dimethyl-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;  
 4-Benzyl-8-(3,3-dimethyl-piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one;  
 20 1-Benzyl-5-piperazin-1-yl-1H-benzo[1,3,4]oxathiazine 2,2-dioxide; and  
 4-Benzyl-2,2-spiro-cyclobutan-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one.

15. The compound of claim 6, wherein R<sup>2</sup> is heteroaryl.
- 25 16. The compound of claim 15, wherein R<sup>2</sup> is pyridine-4-yl.
17. The compound of claim 6, wherein Y is C and m is 1.
18. The compound of claim 17, wherein R<sup>2</sup> is optionally substituted phenyl or  
 30 optionally substituted naphthyl.

19. The compound of claim 18, wherein  $R^2$  is 2-halophenyl, 3-halophenyl, 4-halophenyl, naphthyl-2-yl, 3-cyanophenyl, 4-cyanophenyl, 3-nitrophenyl, 3-aminophenyl, 3-methoxyphenyl, 3-ureaphenyl, or 3-methylsulfonylamino-phenyl.

5 20. The compound of claim 18, wherein p is 1 and  $R^1$  is halo, methyl or methoxy.

21. The compound of claim 18, wherein  $R^3$  and  $R^4$  are hydrogen.

22. The compound of claim 18, wherein  $R^3$  and  $R^4$  are methyl.

10

23. The compound of claim 18, wherein one of  $R^3$  and  $R^4$  is hydrogen and the other is methyl.

15 24. The compound of claim 18, wherein  $R^3$  and  $R^4$  together with the carbon atom therebetween form a cyclobutyl.

25. The compound of claim 6 wherein Y is S and m is 2.

20 26. The compound of claim 25, wherein  $R^2$  is optionally substituted phenyl or optionally substituted naphthyl.

27. The compound of claim 26, wherein  $R^2$  is 2-halophenyl, 3-halophenyl, 4-halophenyl, naphthyl-2-yl, 3-cyanophenyl, 4-cyanophenyl, 3-nitrophenyl, 3-aminophenyl, 3-methoxyphenyl, 3-ureaphenyl, or 3-methylsulfonylamino-phenyl.

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28. The compound of claim 26, wherein p is 1 and  $R^1$  is halo, methyl or methoxy.

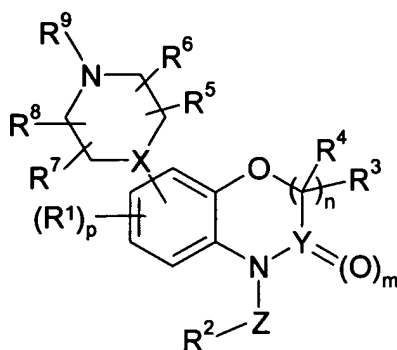
29. The compound of claim 26, wherein  $R^3$  and  $R^4$  are hydrogen.

30 30. The compound of claim 26, wherein  $R^3$  and  $R^4$  are methyl.

31. The compound of claim 26, wherein one of  $R^3$  and  $R^4$  is hydrogen and the other is methyl.

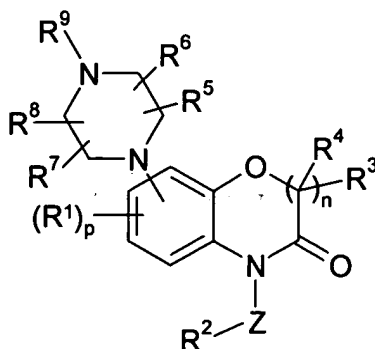
32. The compound of claim 26, wherein  $R^3$  and  $R^4$  together with their shared carbon  
5 form a cyclobutyl.

33. The compound of claim 1, wherein said compound is of the formula:



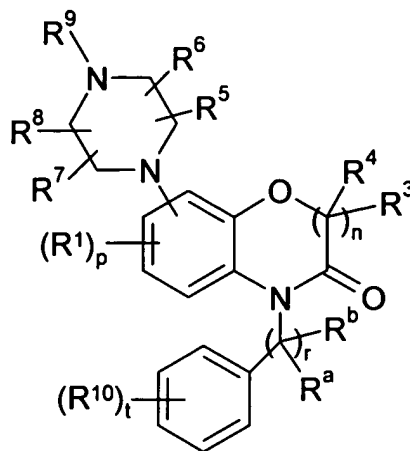
10 or a pharmaceutically acceptable salt or prodrug thereof, wherein X, Y, Z,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ , m, n, and p are as defined in claim 1.

34. The compound of claim 1, wherein said compound is of the formula:



15 or a pharmaceutically acceptable salt or prodrug thereof, wherein Z,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ , n, and p are as defined in claim 1.

35. The compound of claim 1, wherein said compound is of the formula:

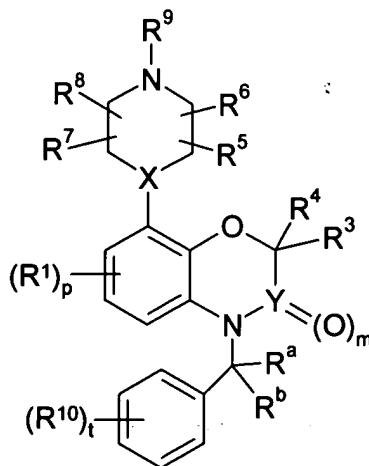


or a pharmaceutically acceptable salt or prodrug thereof, wherein  $R^1, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}$ ,  $n$ ,  $p$  and  $r$  are as defined in claim 1, and wherein:

$t$  is from 0 to 4; and

each  $R^{10}$  independently is halo, alkyl, alkoxy or cyano.

36. The compound of claim 1, wherein said compound is of the formula:



wherein  $X, Y, R^1, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^a, R^b, m, p$  and  $t$  are as recited in claim 1.

37. The compound of claim 36, wherein  $R^1$  is halo, methyl or methoxy.

38. The compound of claim 36 wherein  $R^3$  and  $R^4$  each independently is hydrogen or methyl.

39. The compound of claim 36, wherein R<sup>3</sup> and R<sup>4</sup> together with their shared carbon form a cyclobutyl group.

40. The compound of claim 36, wherein R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> each independently is hydrogen or methyl.

41. The compound of claim 36, wherein R<sup>a</sup> and R<sup>b</sup> each independently is hydrogen or methyl.

42. The compound of claim 36, wherein each R<sup>10</sup> is hydrogen, halo, nitro, cyano, amino, urea, methoxy or methanesulfonylamino.

43. A pharmaceutical composition comprising an efficacious amount of the compound of claim 1 in admixture with a pharmaceutically acceptable carrier.

44. A method for treating a central nervous system disease state in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.

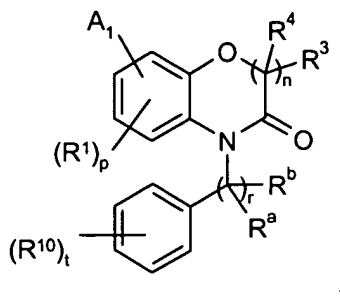
45. The method of Claim 44, wherein the disease state is selected from psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease.

46. A method for treating a disorder of the gastrointestinal tract in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.

47. A method for producing a substituted benzoxazinone compound, said method comprising:

(a) contacting an N-arylalkyl benzoxazinone of the formula:





wherein:

$A_1$  is a leaving group,

$n$  is 1 or 2;

5  $p$  is from 0 to 3;

$r$  is from 0 to 2;

$t$  is from 0 to 4;

each of  $R^a$  and  $R^b$  is independently hydrogen or alkyl;

10 each  $R^1$  is independently halo, alkyl, haloalkyl, heteroalkyl, alkoxy, cyano, –

$S(O)_s-R^c$ ,  $-C(=O)-NR^cR^d$ ,  $-SO_2-NR^cR^d$ ,  $-N(R^c)-C(=O)-R^d$ , or  $-C(=O)R^c$ ,

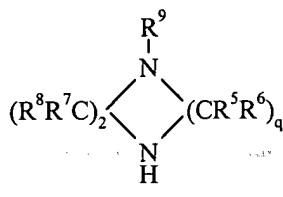
where each of  $R^c$  and  $R^d$  is independently hydrogen or alkyl and  $s$  is from 0 to 2;

each of  $R^3$  and  $R^4$  is independently hydrogen or alkyl; and

each  $R^{10}$  is independently halo, alkyl, alkoxy or cyano;

with a heterocyclic compound of the formula:

15



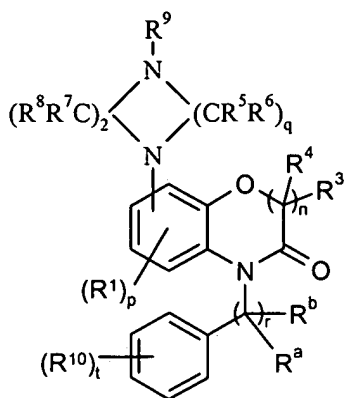
wherein:

$q$  is from 1 to 3; and

each of  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  is independently hydrogen or alkyl, or one of  $R^5$  and

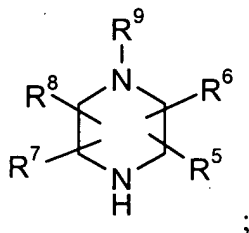
20  $R^6$  together with one of  $R^7$ ,  $R^8$  and  $R^9$  may form a ring of 5 to 7 members;

in the presence of a palladium catalyst to produce the heterocyclyl-substituted N-arylalkyl  
benzoxaninone compound of the formula:

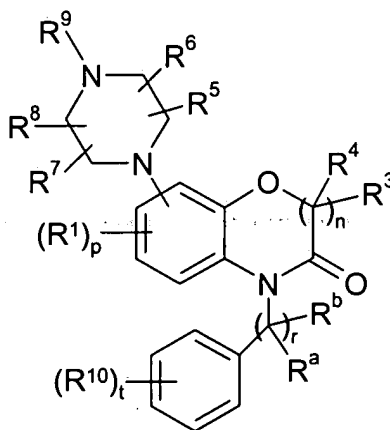


48. The method of claim 47, wherein the leaving groups A<sup>1</sup> is halo.

5 49. The method of claim 47, wherein the heterocyclic compound is of the formula:



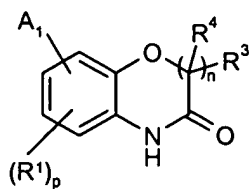
such that the heterocyclyl-substituted N-arylalkyl benzoxazinone compound is of the formula:



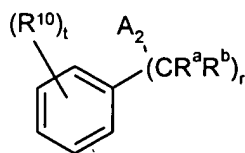
10 and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, n, p, r and t are as described in claim 41.

50. The method of claim 47, further comprising:

(a) contacting a benzoxazinone of the formula:



wherein  $n$ ,  $p$ ,  $A_1$ ,  $R^1$ ,  $R^3$  and  $R^4$  are as described in claim 1,  
with an alkylating agent of the formula:



5 wherein:

$A_2$  is a leaving group and may be the same or different from  $A_1$ ; and

$r$ ,  $t$ ,  $R^a$ ,  $R^b$  and  $R^{10}$  are as described in claim 41;

to produce the N-arylalkyl benzoxazinone of the formula:

